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L7
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              0 S L4 AND KIM, D?/AU
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L12

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Welcome to STN International Web Page URLs for STN Seminar Schedule - N. America NEWS 1 NEWS "Ask CAS" for self-help around the clock 3 JAN 17 Pre-1988 INPI data added to MARPAT NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist NEWS visualization results 5 FEB 22 The IPC thesaurus added to additional patent databases on STN NEWS NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added FEB 27 New STN AnaVist pricing effective March 1, 2006 NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes NEWS NEWS 9 MAR 22 EMBASE is now updated on a daily basis NEWS 10 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL NEWS 12 APR 04 STN AnaVist \$500 visualization usage credit offered NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display in MARPAT NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected NEWS 16 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records NEWS 17 MAY 11 KOREAPAT updates resume NEWS 18 MAY 19 Derwent World Patents Index to be reloaded and enhanced NEWS 19 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2 NEWS 20 MAY 30 The F-Term thesaurus is now available in CA/CAplus NEWS EXPRESS JUNE 16 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 23 MAY 2006. NEWS HOURS STN Operating Hours Plus Help Desk Availability Welcome Banner and News Items NEWS LOGIN NEWS IPC8 For general information regarding STN implementation of IPC 8 NEWS X25 X.25 communication option no longer available after June 2006

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=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 30 MAY 2006 HIGHEST RN 886115-42-0 DICTIONARY FILE UPDATES: 30 MAY 2006 HIGHEST RN 886115-42-0

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See $\underline{\mathtt{HELP}}$ SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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Uploading structure

L1 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 42348 TO ITERATE

4.7% PROCESSED 2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 834674 TO 859246

PROJECTED ANSWERS: 0 TO

L2 0 SEA SSS SAM L1

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END: Y
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19 ANSWERS

98.3% PROCESSED 834112 ITERATIONS

19 ANSWERS

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19 ANSWERS

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 173.10 173.31

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FILE COVERS 1907 - 31 May 2006 VOL 144 ISS 23 FILE LAST UPDATED: 30 May 2006 (20060530/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN L4

Full Text References

ACCESSION NUMBER: 2005:140666 HCAPLUS

DOCUMENT NUMBER:

142:210949 TITLE:

Artificial receptors, building blocks, and methods

INVENTOR(S): PATENT ASSIGNEE(S):

Carlson, Robert E. Receptors Llc, USA

SOURCE:

U.S. Pat. Appl. Publ., 72 pp., Cont.-in-part of Appl.

No. PCT/US03/05328.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	ID DATE	DATE APPLICATION NO.							DATE				
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WO 2003074990	A2	2003	20030912 WO 2003-US5328						20030219				
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The present invention relates to artificial receptors and arrays or microarrays of artificial receptors or candidate artificial receptors.

Each member of the array includes a plurality of building block compds., which can be immobilized in a spot on a support. The present invention also includes the building blocks, combinations of building blocks, arrays of building blocks, and receptors constructed of these building blocks

together with a support. The present invention also includes methods of making and using these arrays and receptors.

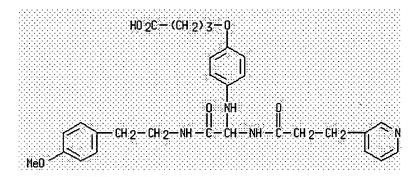
IT 596118-78-4P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(methods for combinatorial synthesis and use of artificial receptors and building blocks)

RN 596118-78-4 HCAPLUS

Butanoic acid, 4-[4-[2-(4-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino]-2-oxo-1-[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethyl]amino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-methoxyphenyl]ethylamino[[1-oxo-mCN 3-(3-pyridinyl)propyl]amino]ethyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



L4ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

38 (1) (8) Full Text References

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

2003:719694 HCAPLUS 139:254455

Artificial receptors, building blocks, and methods

Carlson, Robert E. Receptors LLC, USA PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 139:254455

The present invention relates to artificial receptors and arrays or microarrays of artificial receptors or candidate artificial receptors. Each member of the array includes a plurality of building block compds., typically immobilized in a spot on a support. The present invention also includes the building blocks, combinations of building blocks, arrays of building blocks, and receptors constructed of these building blocks together with a support. The present invention also includes methods of making and using these arrays and receptors.

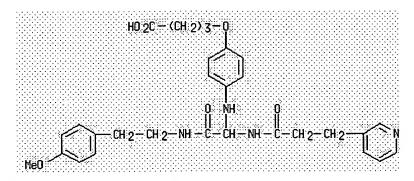
IT 596118-78-4P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(methods for combinatorial synthesis and use of artificial receptors and building blocks)

RN 596118-78-4 HCAPLUS

CN Butanoic acid, 4-[4-[[2-[[2-(4-methoxyphenyl)ethyl]amino]-2-oxo-1-[[1-oxo-3-(3-pyridinyl)propyl]amino]ethyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

Full CERE
Text Relevances
ACCESSION NUMBER:

ESSION NUMBER: 2002:256223 HCAPLUS

DOCUMENT NUMBER:

136:295089

TITLE:

Preparation of amino acid aromatic derivatives with

HIV integrase inhibitory properties

INVENTOR(S):

N'zemba, Blaise Magloire; Sauve, Gilles; Sevigny, Guy;

Yelle, Jocelyn

PATENT ASSIGNEE(S):

SOURCE:

Pharmacor, Inc., Can. PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	UZ,	VN,	
		YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZW,	ΑT,	ΒĒ,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
CF	2321	348			AA		2002	0327		CA 2000-232 <u>1348</u>								
AU	AU 2001095310				A 5		2002	0408		AU 2	001-	953 <u>1</u>	0		20010925			
			В1		2003	0304		US 2	001-	9633	<u> 29</u>		20010926					
PRIORIT	PRIORITY APPLN. INFO.:								CA 2000-2321348					A 20000927				
-										WO 2001-CA1367 W 20010925							925	
OMULED GOLLDON (C)						שתם	126.	2050	00									

OTHER SOURCE(S): MARPAT 136:295089

AB Amino acid derivs. R1CO-A-CONHR2 [A = NR3CR4R5, where R3, R4 = H or Me; R5 = H, alkyl, carboxyalkyl, benzyl, MeSCH2CH2, 1-indolylmethyl, 3,4-(HO)2C6H2CH2, etc.; R3R4 may be trimethylene, which may be substituted; R1, R2 are certain rings (Ph, 3-pyridyl, 2-quinolyl, 2-thienyl, etc.), which may be substituted and attached to alkyl; R2 may also be aroylamino] were prepd. as inhibitors of HIV integrase. Thus, N-[Na-(3,4-dihydroxybenzoyl)-Nt-trityl-L-histidinyl]dopamine was prepd. by coupling of Na-(9-fluorenylmethoxycarbonyl)-Nt-trityl-

L-histidine with dopamine hydrochloride, deprotection, and acylation with 3,4-dihydroxybenzoic acid and showed anti-integrase activity IC50 = 65 nM.

IT 406727-71-7P 406727-72-8P

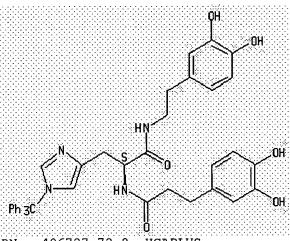
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid arom. derivs. with HIV integrase inhibitory properties)

RN 406727-71-7 HCAPLUS

CN 1H-Imidazole-4-propanamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- α -[[3-(3,4-dihydroxyphenyl)-1-oxopropyl]amino]-1-(triphenylmethyl)-, (α S)-(9CI) (CA INDEX NAME)

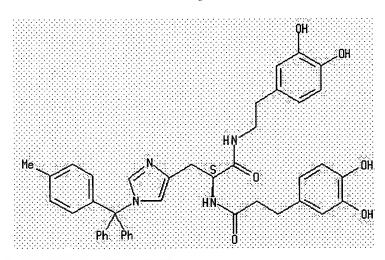
Absolute stereochemistry.



RN 406727-72-8 HCAPLUS

CN 1H-Imidazole-4-propanamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- α -[[3-(3,4-dihydroxyphenyl)-1-oxopropyl]amino]-1-[(4-methylphenyl)diphenylmethyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

Full : 1849
Text References
ACCESSION NUMBER:

DOCUMENT NUMBER:

2002:237355 HCAPLUS

136:263476

TITLE:

Preparation of hydroxyphenyl derivatives with HIV

integrase inhibitory properties

INVENTOR(S):

Sauve, Gilles; Yelle, Jocelyn

PATENT ASSIGNEE(S):

Pharmacor Inc., Can.

SOURCE:

U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 280,569,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
<u>us 6362165</u>	В1	20020326	US 2000-534615	20000327
PRIORITY APPLN. INFO.:			<u>US 1999-280569</u> B2	19990330

OTHER SOURCE(S):

MARPAT 136:263476

Amino acid hydroxyphenyl derivs. 3,4-(HO)2C6H3-X-NH-W-CO-X'-R and [3,4-(HO)2C6H3CH2CH2NHCOCH(NRaCOR)CH2S]2 [R is Ph substituted by 1-3 OH groups and 0-2 halo group; X, X' = a single bond, C1-4 alkylene or C2-4 alkenylene; Ra = H, Me; W = -A-CO(A'CO)n-, where n = 0 or 1 and A, A' are -NRaCRbRc- (Ra, Rb = H, Me; Rc = H, Me, Me2CH, PHCH2, HO2CCH2, 3-indolylmethyl, 3-guanidylpropyl, 3,4-dihydroxybenzyl, etc. or RaRc together form an azole ring which may be substituted by hydroxy) (with provisos)] were prepd. as inhibitors of HIV integrase. Thus, N-[N-(3,4-dihydroxybenzoyl)glycyl]dopamine, prepd. from glycine tert-Bu ester via coupling with 3,4-dihydroxybenzoic acid and dopamine, showed anti-integrase activity IC50 = 100 μM.

IT 300409-28-3P

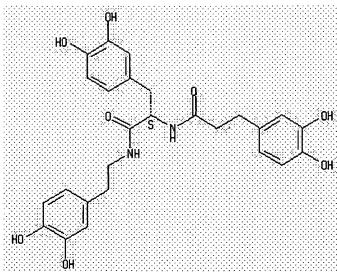
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid hydroxyphenyl derivs. with HIV integrase inhibitory properties)

RN 300409-28-3 HCAPLUS

CN Benzenepropanamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- α -[[3-(3,4-dihydroxyphenyl)-1-oxopropyl]amino]-3,4-dihydroxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



55

REFERENCE COUNT:

THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
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31.6 (4.8) FULL References Text

ACCESSION NUMBER:

2000:725598 HCAPLUS

DOCUMENT NUMBER:

TITLE:

Preparation of hydroxyphenyl derivatives with HIV

integrase inhibitory properties Sauve, Gilles; Yelle, Jocelyn

PATENT ASSIGNEE(S):

SOURCE:

Pharmacor Inc., Can. PCT Int. Appl., 122 pp.

CODEN: PIXXD2

133:282085

DOCUMENT TYPE:

LANGUAGE:

INVENTOR(S):

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.						DATE					
WC	WO 2000059867						2000	1012		WO 2	000-0	CA32	<u>7</u>	20000327						
	w:	AE,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CH,	CN,	CR,	CU,	CZ,			
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		IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,			
		MG,	MK,	MN,	MW,	ΜX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,			
		SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,			
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	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,			
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,			
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG							
CF	2267	657			AΑ		2000	0930	CA 1999-2267657						19990330					
CA	1 2302	144			AΑ		2000	0930	CA 2000-2302144						20000327					
EI	1165	492			A1		2002	0102		EP 2	000-	9139	80		2	0000	327			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
		ΙE,	SI,	LT,	LV,	FI,	RO													
PRIORI	Y APP	LN.	INFO	.:						CA 1	999-	2267	<u>657</u>		A 1	9990	330			
	_								US 1999-280569						A 19990330					
									WO 2000-CA327					•	W 20000327					

MARPAT 133:282085 OTHER SOURCE(S):

Amino acid hydroxyphenyl derivs. 3,4-(HO)2C6H3-X-NH-W-CO-X'-R and [3,4-(HO)2C6H3CH2CH2NHCOCH(NRaCOR)CH2S]2 [R is Ph substituted by 1-3 OH groups and 0-2 halo group; X, X' = a single bond, C1-4 alkylene or C2-4 alkenylene; Ra = H, Me; W = -A-CO(A'CO)n-, where n = 0 or 1 and A, A' are -NRaCRbRc- (Ra, Rb = H, Me; Rc = H, Me, Me2CH, PHCH2, HO2CCH2, benzyloxycarbonyl, 3-indolylmethyl, 3-guanidylpropyl, 3,4-dihydroxybenzyl, etc. or RaRc together form an azole ring which may be substituted by hydroxy), -NRaCRbRcCH2-, -NRaCRbRcCH2CH2] were prepd. as inhibitors of HIV integrase. Thus, N-[N-(3,4-hydroxybenzoyl)glycyl]dopamine, prepd. from glycine tert-Bu ester via coupling with 3,4-dihydroxybenzoic acid and dopamine, showed anti-integrase activity IC50 = 100 μ M.

IT 300409-28-3P

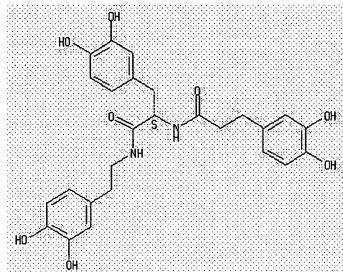
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxyphenyl derivs. with HIV integrase inhibitory properties)

RN 300409-28-3 HCAPLUS

Benzenepropanamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- α -[[3-(3,4-CN dihydroxyphenyl)-1-oxopropyl]amino]-3,4-dihydroxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN ANSWER 6 OF 7

Full Text: ACCESSION NUMBER:

1998:661011 HCAPLUS

DOCUMENT NUMBER:

130:76286

TITLE:

NPY Y1 antagonists: structure-activity relationships

of arginine derivatives and hybrid compounds with

arpromidine-like partial structures

AUTHOR (S):

Aiglstorfer, Iris; Uffrecht, Anka; Gessele, Karin; Moser, Christiane; Schuster, Andreas; Merz, Stefanie; Malawska, Barbara; Bernhardt, Gunther; Dove, Stefan;

Buschauer, Armin

CORPORATE SOURCE:

Institute of Pharmacy, University of Regensburg,

Regensburg, D-93040, Germany

SOURCE:

Regulatory Peptides (1998), 75-76, 9-21

CODEN: REPPDY; ISSN: 0167-0115

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

LANGUAGE:

Journal English

Previously, ω -guanidino- and ω -aminoalkanamides, structurally derived from arpromidine-like histamine H2 receptor agonists, were reported as novel neuropeptide Y Y1 antagonists. Regardless of the backbone, they resemble BIBP 3226, an argininamide with high NPY Y1 receptor affinity and selectivity, with respect to nature and arrangement of the 'terminal' diaryl, guanidine, and hydroxyphenyl groups. Hybrid compds. were synthesized combining the argininamide backbone of BIBP 3226 or partial structures derived from the C-terminal dipeptide of NPY with characteristic substructures of arpromidine- or amide-type NPY antagonists. Addnl., some analogs of BIBP 3226 with reduced flexibility were prepd. Structure-activity relationships indicate that, in contrast to alkanamides, homologs and/or isomers of BIBP 3226 with vicinal arrangement of the Ph rings have decreased Y1 antagonistic activity (Ca2+-assay in HEL cells). Replacement of the hydroxybenzyl group by an imidazole ring further decreases activity. It is concluded that the binding sites of NPY antagonists with one and with two basic groups are not identical. Analogs with a rigid tetrahydro-2-benzazepine or an indan group in place of the benzyl moiety in BIBP 3226 are active, indicating the role of the OH group and supporting the model proposed for the interaction of BIBP 3226 with the Y1 receptor.

IT <u>218793-28-3</u>P <u>218793-31-8</u>P <u>218793-45-4</u>P

218793-47-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; neuropeptide Y Y1 receptor antagonists and structure-activity relationships of arginine derivs. and hybrid compds. with arpromidine-like partial structures)

RN <u>218793-28-3</u> HCAPLUS

CN 2H-Isoindole-2-pentanamide, α -[[4-(3,4-dichlorophenyl)-1-oxo-4-(2-pyridinyl)butyl]amino]-1,3-dihydro-N-[2-(4-methoxyphenyl)ethyl]-1,3-dioxo-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>218793-31-8</u> HCAPLUS

CN

2-Pyridinebutanamide, N-[(1S)-4-amino-1-[[[2-(4-methoxyphenyl)ethyl]amino]carbonyl]butyl]- γ -(3,4-dichlorophenyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 218793-45-4 HCAPLUS

CN Benzenepropanamide, N-[(1S)-1-[[[2-(4-hydroxyphenyl)ethyl]amino]carbonyl]-4-[[imino(nitroamino)methyl]amino]butyl]- β -phenyl- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

RN 218793-47-6 HCAPLUS

CN Benzenepropanamide, N-[(1R)-1-[[[2-(4-hydroxyphenyl)ethyl]amino]carbonyl]-4-[[imino(nitroamino)methyl]amino]butyl]- β -phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 218792-94-0P 218792-97-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

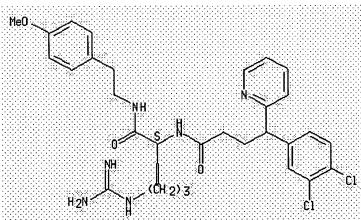
(neuropeptide Y Y1 receptor antagonists and structure-activity relationships of arginine derivs. and hybrid compds. with arpromidine-like partial structures)

RN 218792-94-0 HCAPLUS

CN

2-Pyridinebutanamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-[[[2-(4-methoxyphenyl)ethyl]amino]carbonyl]butyl]- γ -(3,4-dichlorophenyl)-(9CI) (CA INDEX NAME)

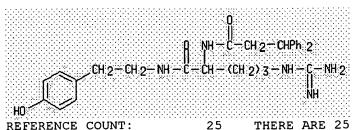
Absolute stereochemistry.



RN 218792-97-3 HCAPLUS

CN Benzenepropanamide, N-[4-[(aminoiminomethyl)amino]-1-[[[2-(4-hydroxyphenyl)ethyl]amino]carbonyl]butyl]- β -phenyl- (9CI) (CA INDEX

NAME)



REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN L4ANSWER 7 OF 7

8 1 2 References

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

GΙ

1995:620466 HCAPLUS

123:257350

Trifunctional reagents for derivatizing sulfhydryl

groups

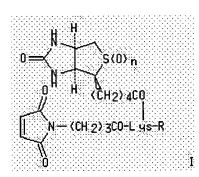
Finn, Frances M.; Yamanouchi, Keitaro; Titus, Gail;

Hofmann, Klaus Dep. Med., Univ. Pittsburgh, Pittsburgh, PA, 15261,

USA

Bioorganic Chemistry (1995), 23(2), 152-68 CODEN: BOCMBM; ISSN: 0045-2068

Academic Journal English



The syntheses of four trifunctional reagents I (n = 0, 2, R =AB NHCH2CH2C6H4OH-4; n = 0, R = Tyr-OH, NHCH2CH2NHCOCH2CH2C6H4OH-4) for alkylating sulfhydryl groups in proteins are described. Each reagent I contains a maleimide function capable of reacting with SH groups, a p-hydroxyphenyl group that can be iodinated, and a "biotin handle" to facilitate purifn. of the derivatized proteins or peptides derived from them by biotin-avidin affinity chromatog. Detailed conditions for obtaining the pure diiodo derivs. of I have been developed. The biotin is attached to all the reagents via the ϵ -amino group of lysine (biocytin) to provide sufficient space for optimum binding to avidin. half-times (t1/2) for dissocn. of I (n = 0, R = CH2CH2C6H4OH-4) from succinoyl avidin (36.7 days), monoiodo (26.1 days) and diiodo derivs. (21.4 days), and sulfone I (n = 2, R = NHCH2CH2C6H4OH-4) (29.8 days), demonstrate that iodination does not significantly interfere with binding of the biotin residue to succinoyl avidin and that these reagents can be used effectively as affinity ligands. Remarkably, all the reagents I can

be iodinated without loss of the sulfhydryl alkylating capacity. Alkylation of highly purified human placental insulin receptor with the di-iodo derivs. of the reagents results in significant incorporation of 125I into the b-subunit of the receptor and the alkylation was prevented by prior exposure of the receptor to NEM. The advantages of these reagents over those previously available are that the parent mols. (1) are inexpensive to prep., (2) are solids that can be stored indefinitely without degrdn., (3) and can be radiolabeled to specific activity levels over seventy times higher with 125I than the specific activity available for 3H derivs.

IT 168639-58-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of trifunctional reagents for derivatizing protein sulfhydryl groups)

RN 168639-58-5 HCAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[5-[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxobutyl]amino]-6-[[2-(4-hydroxyphenyl)ethyl]amino]-6- oxohexyl]hexahydro-2-oxo-, [3aS-[3aα,4β(R*),6aα]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 168639-67-6P 168639-81-4P 168639-82-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of trifunctional reagents for derivatizing protein sulfhydryl groups)

RN <u>168639-67-6</u> HCAPLUS

CN

1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[5-[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxobutyl]amino]-6-[[2-(4-hydroxyphenyl)ethyl]amino]-6-oxohexyl]hexahydro-2-oxo-, 5,5-dioxide, [3aS-[3a α ,4 β (R*),6a.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168639-81-4 HCAPLUS

CN $\overline{1\text{H-Thieno}[3,4-d]}$ imidazole-4-pentanamide, N-[5-[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxobutyl]amino]-6-[[2-(4-hydroxy-3-iodophenyl)ethyl]amino]-6-oxohexyl]hexahydro-2-oxo-, [3aS-[3a α ,4 β (R*),6a α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168639-82-5 HCAPLUS

TH-Thieno[3, 4-d]imidazole-4-pentanamide, N-[5-[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxobutyl]amino]-6-[[2-(4-hydroxy-3,5-diiodophenyl)ethyl]amino]-6-oxohexyl]hexahydro-2-oxo-,
[3aS-[3aα,4β(R*),6aα]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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SINCE FILE TOTAL
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